

BEST AVAILABLE COPY

FIG. 1A



FIG. 1B

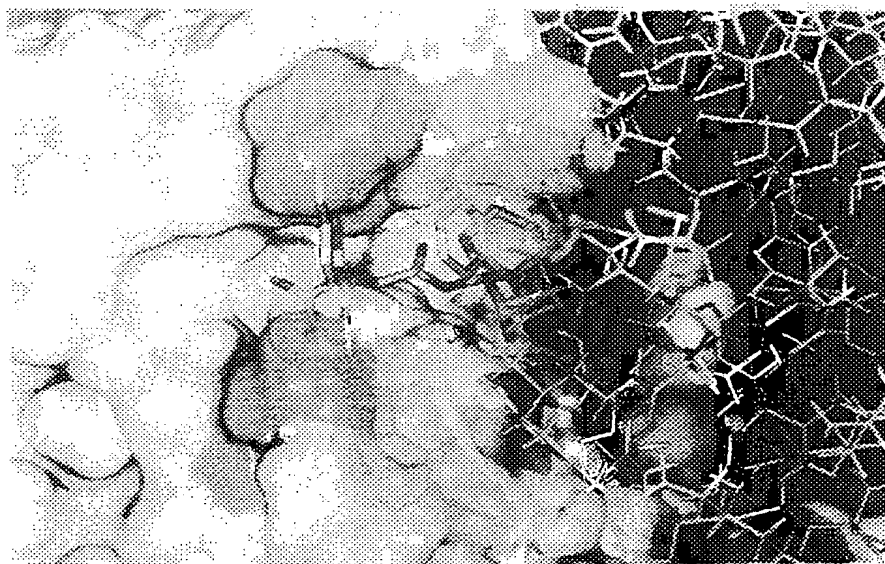
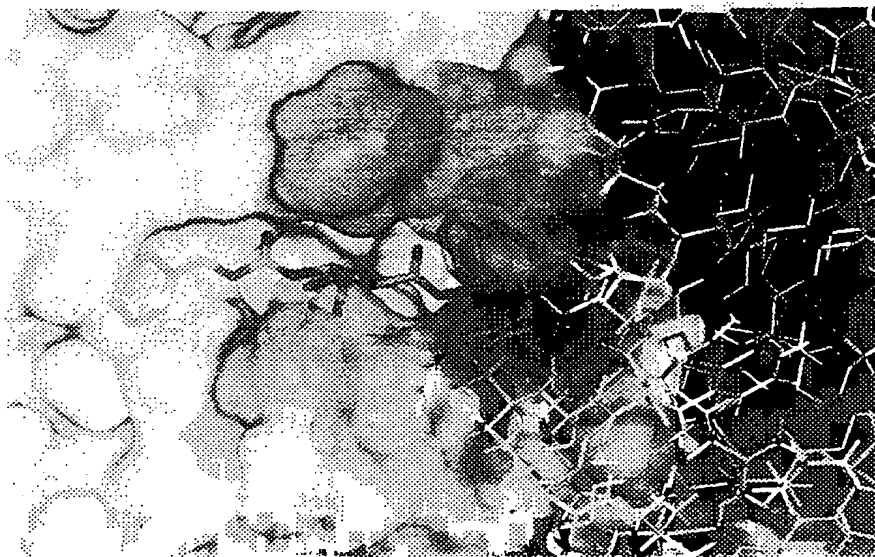
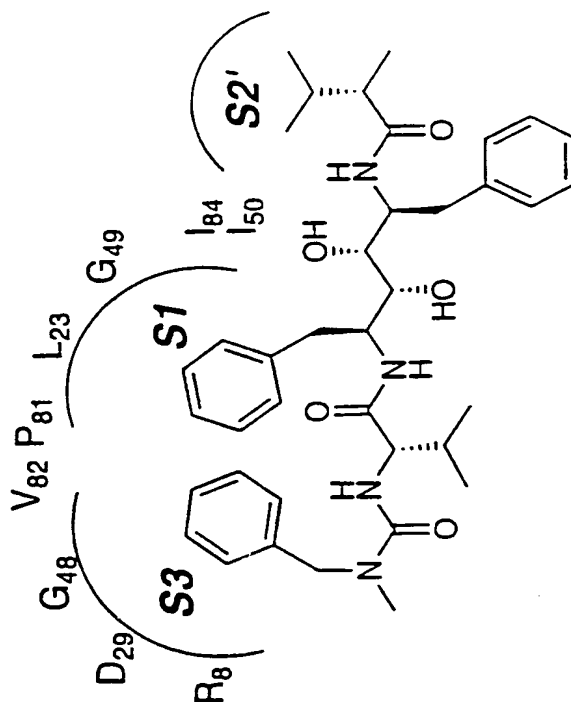
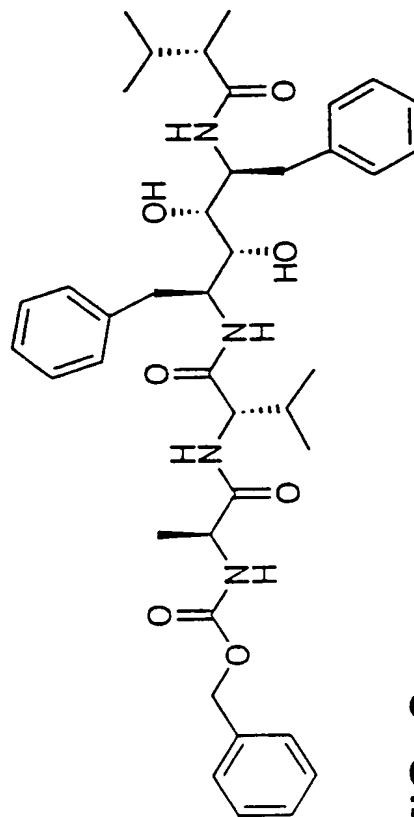
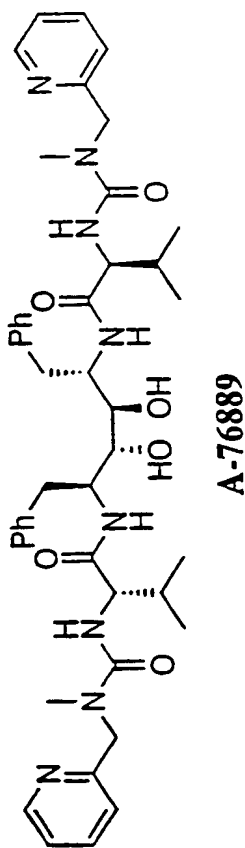
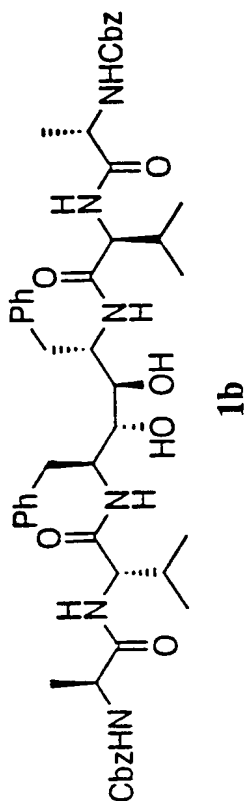


FIG. 1C

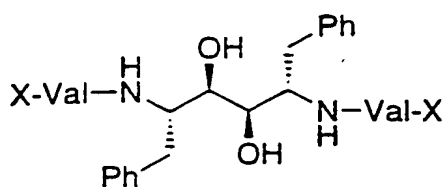


09581044-060800

000090" 44078560

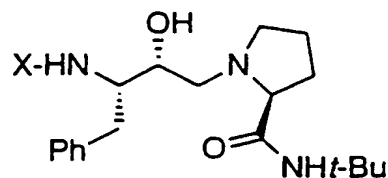


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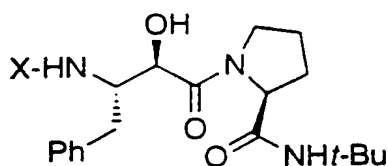
1000a X = Cbz

1200 X = Cbz-Ala



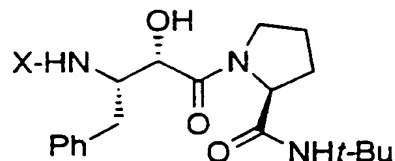
2a X = Cbz

2b X = Cbz-Ala-Val



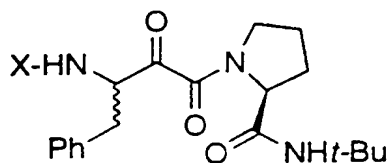
3a X = Cbz

3b X = Cbz-Ala-Val



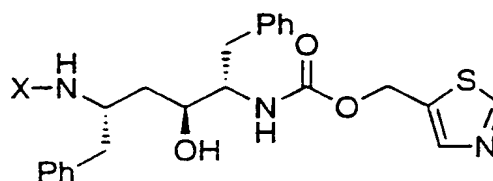
4a X = Cbz

4b X = Cbz-Ala-Val



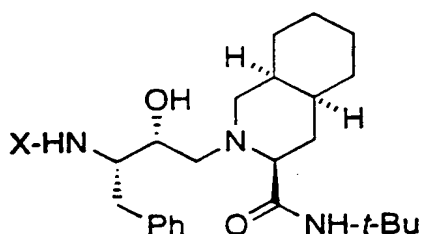
5a X = Cbz

5b X = Cbz-Ala-Val



6a X = H

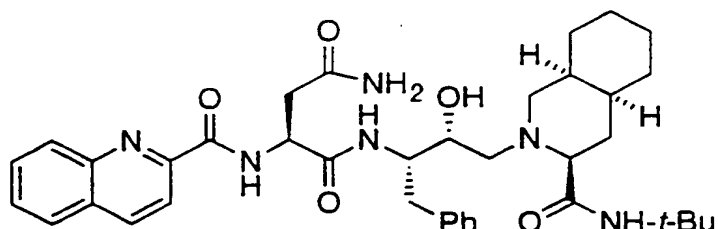
6b X = Cbz-Ala-Val



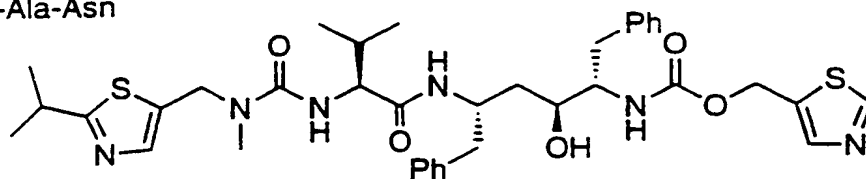
7 X = BOC

7a X = Cbz-Ala-Val

7b X = Cbz-Ala-Asn



RO31-8959



ABT-538

FIG. 3

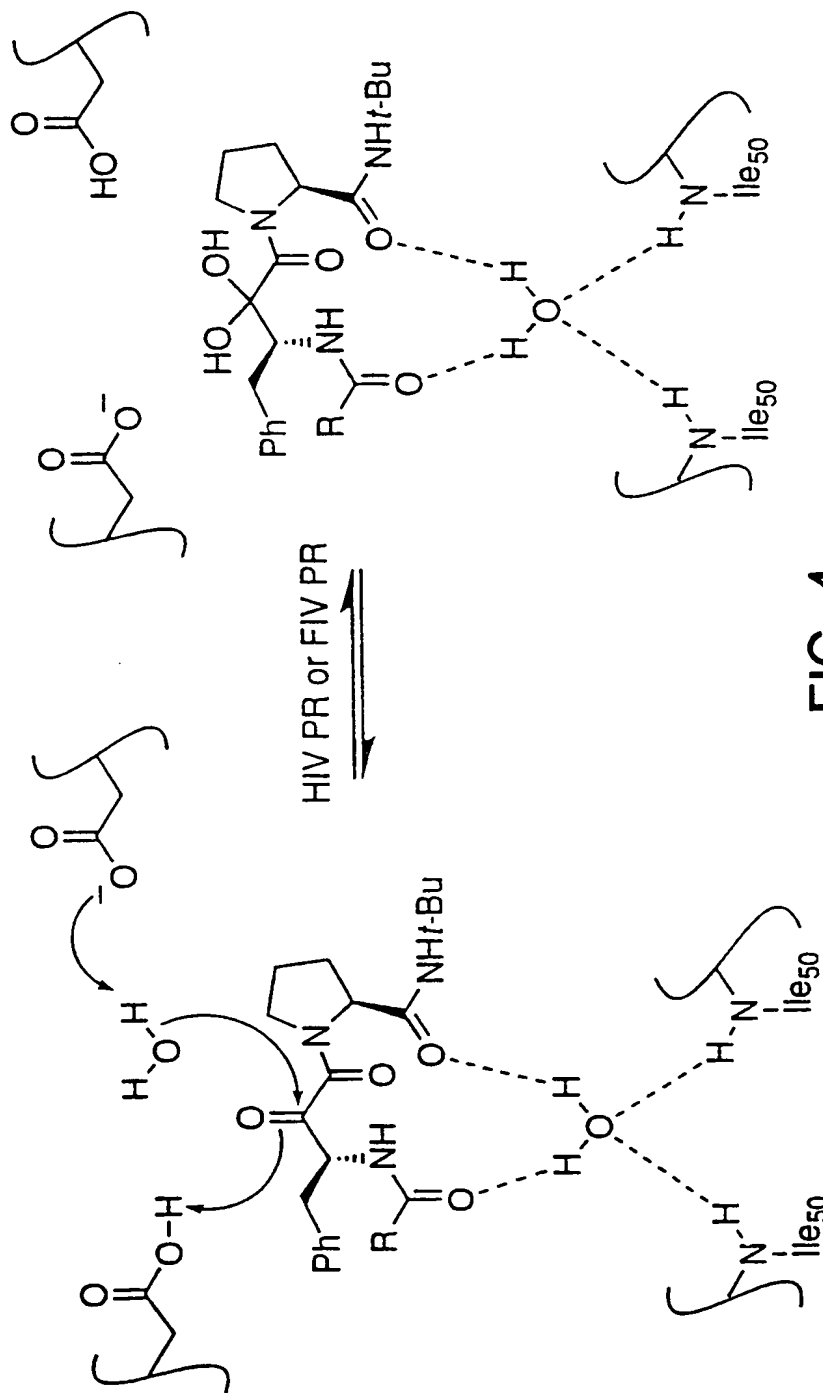


FIG. 4

FIG. 5A



FIG. 5B

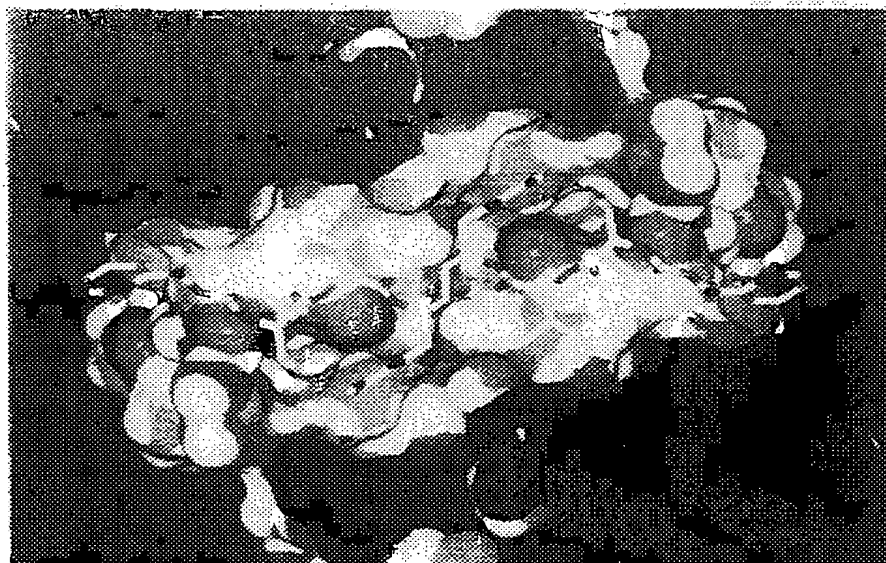


FIG. 5C



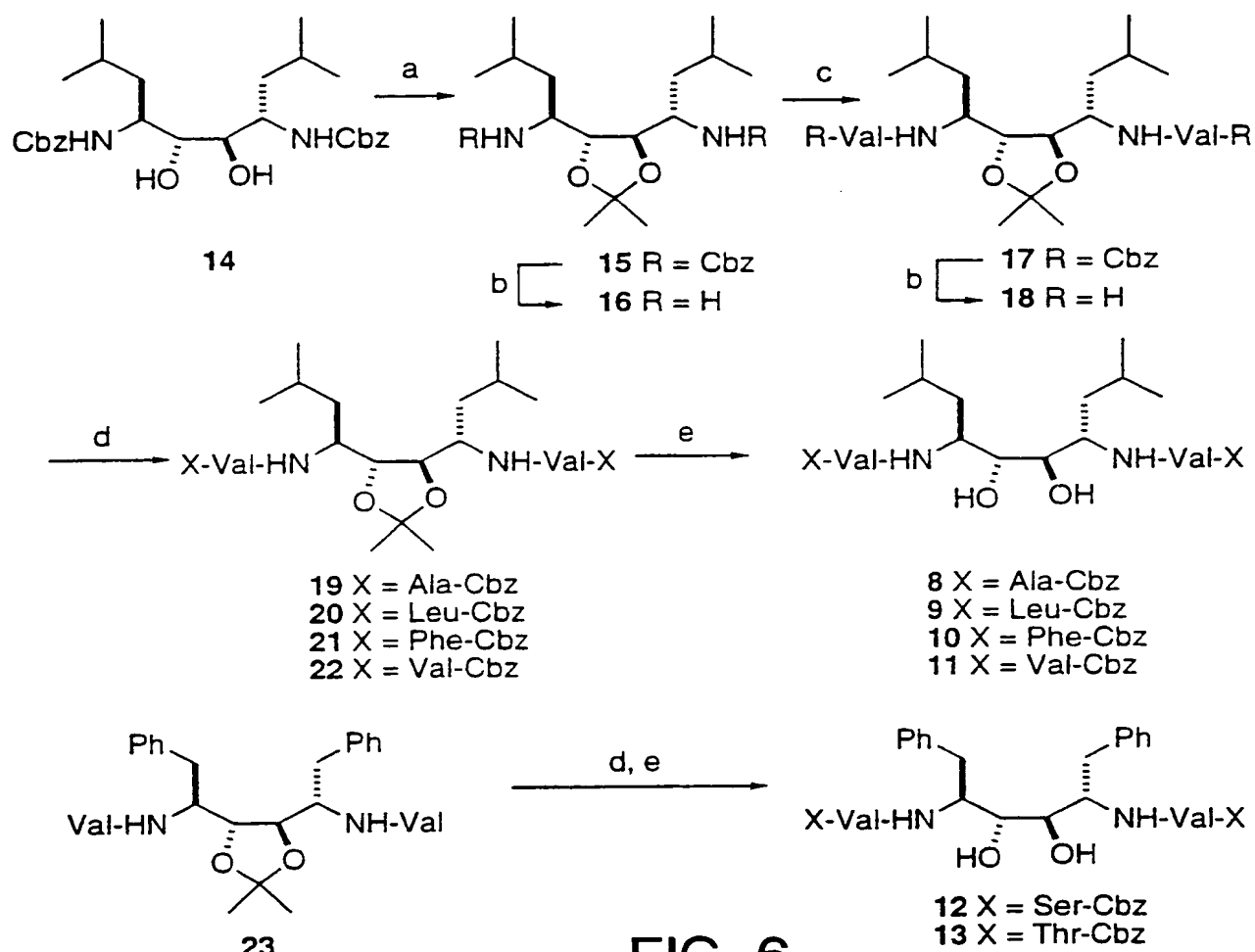


FIG. 6

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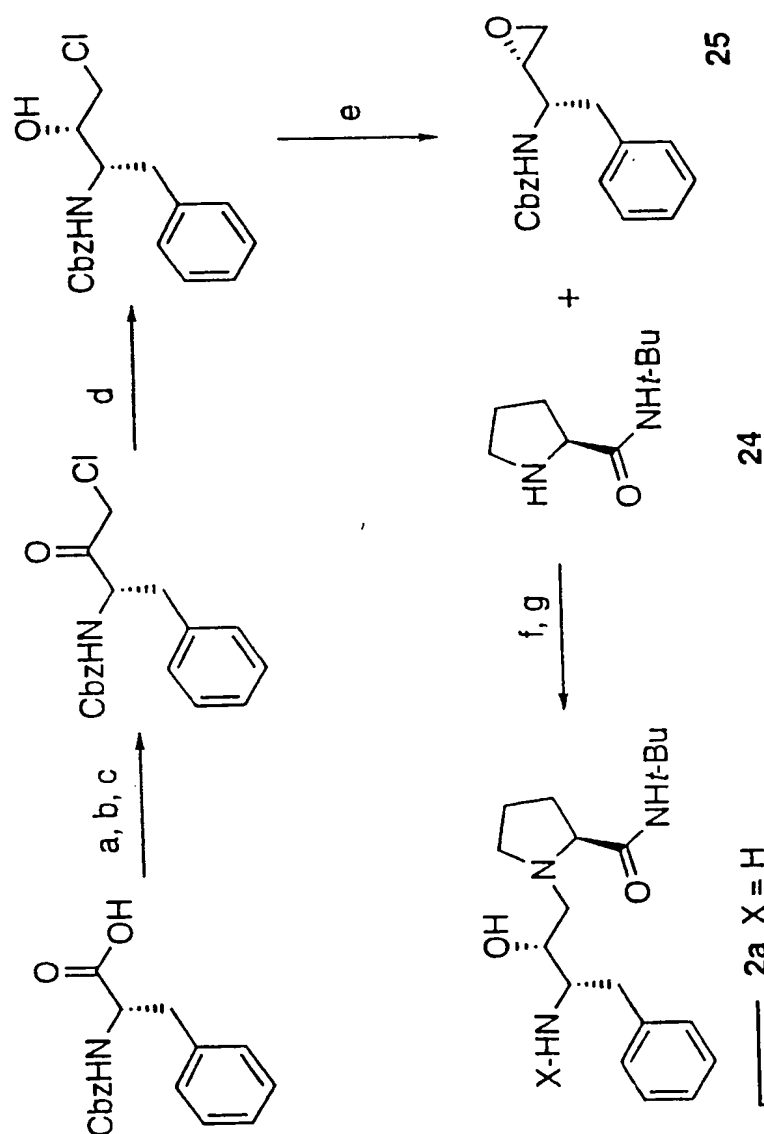
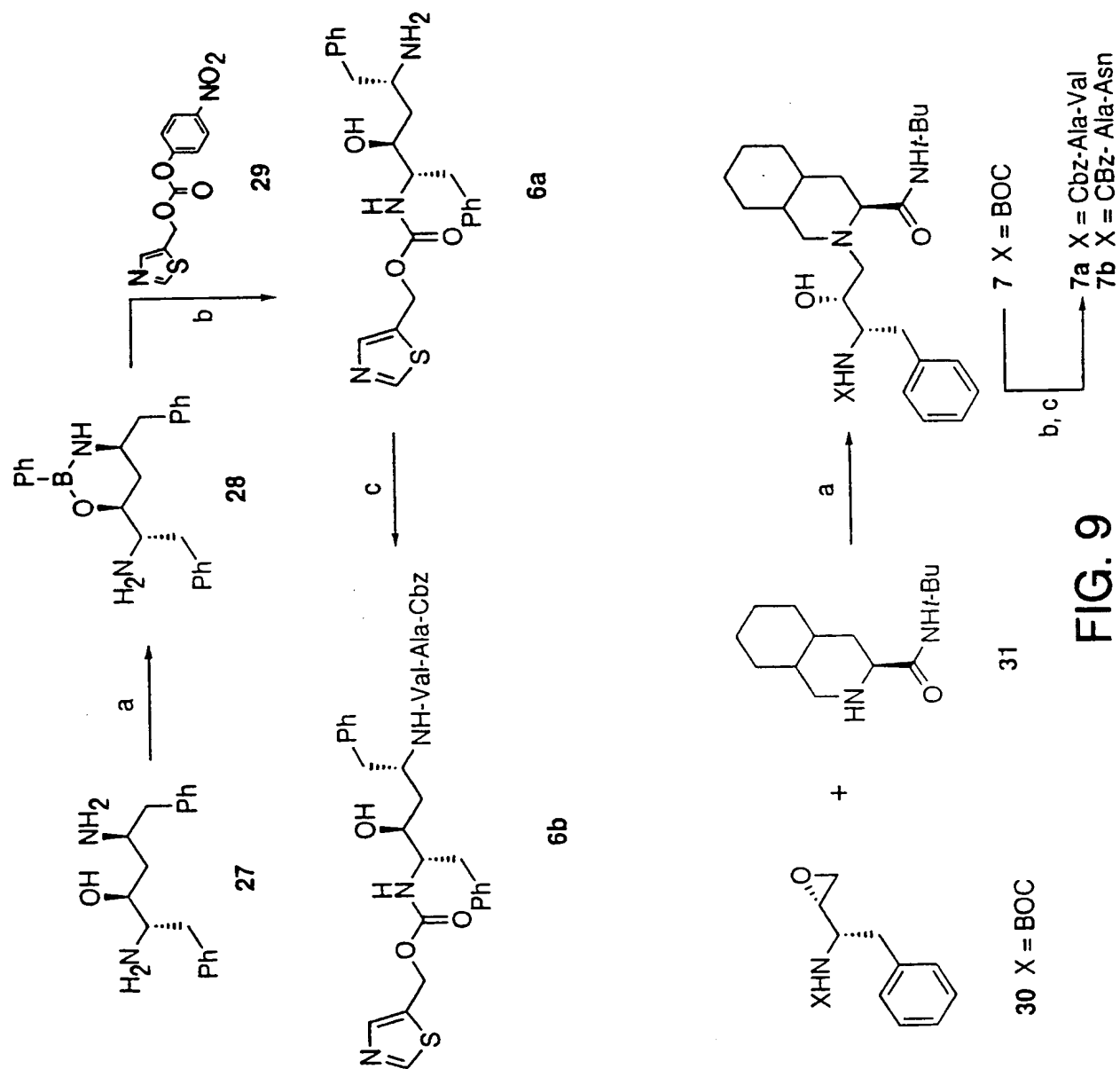


FIG. 7



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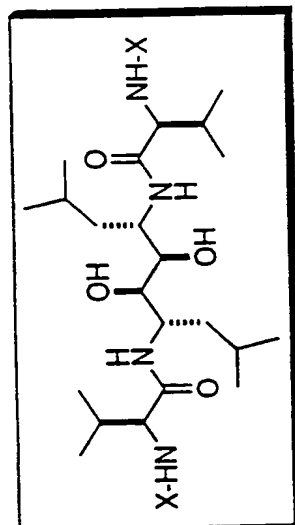
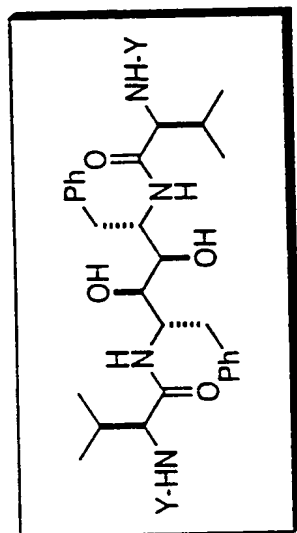


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compd	FIV PR ^b	HIV PR ^c		HIV (G48V) ^c	HIV (V82F) ^c
	K_i (nM)	K_i (nM)	IC ₅₀ (nM)	IC ₅₀ (nM)	IC ₅₀ (nM)
1000a	17000 ± 300 ^d	1.1 ± 0.2 ^d			
1200	41 ± 7 ^d	1.5 ± 0.3 ^d	3.8	20.5	14.9
2a	NI		60000 ^e		
2b	7300 ± 300	499 ± 81			
3a	NI		300000 ^e		
3b	9400 ± 900	308 ± 70			
4a	NI		2000 ^e		
4b	212 ± 23	5.4 ± 0.7	10.3	131.0	86.1
5a	NI	214 ^e			
5b	46 ± 5	2.5 ± 0.4	7.8	68.7	44.4
6	3700 ± 600	3.0 ± 0.6	5.0	34.5	24.0
7a	2600 ± 300	1.5 ± 0.2	4.0	26.1	13.3
7b	133000 ± 38000	11.3 ± 1.3			
RO31-8959	76000 ± 300 ^b	1.6 ± 0.6 ^c 0.0003 ^f		0.0081 ^f	0.0005 ^f
ABT-538		0.0001 ^f		0.0017 ^f	0.009 ^f

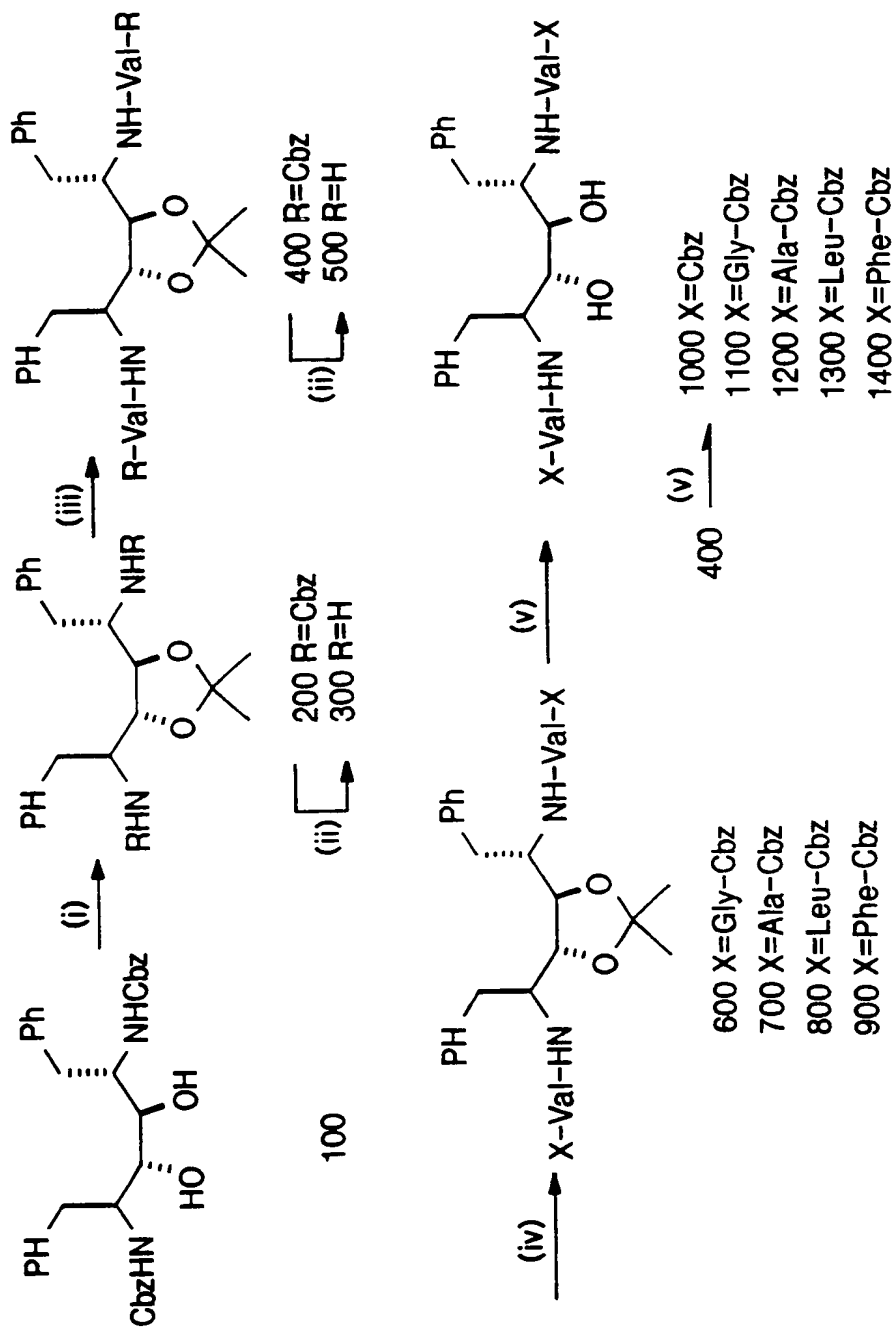
FIG. 10

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Inhibitor (X)	FIV PR ^b K_i (nM)	HIV PR ^c K_i (nM)	Inhibitor (Y)	FIV PR ^b K_i (nM)	HIV PR ^c K_i (nM)
8 (Ala-Cbz)	62 ± 9	6.5 ± 1.3	1b (Ala-Cbz)	41 ± 7 ^d	1.5 ± 0.3 ^d
9 (Leu-Cbz)	230 ± 34	0.87 ± 0.12	9b (Leu-Cbz)	159 ± 15 ^d	1.4 ± 0.3 ^d
10 (Phe-Cbz)	487 ± 20	5.5 ± 0.8	10b (Phe-Cbz)	7,000 ± 500 ^d	2.6 ± 0.4 ^d
11 (Val-Cbz)	248 ± 47	nd	12 (Ser-Cbz)	32 ± 5	0.58 ± 0.1
			13 (Thr-Cbz)	142 ± 25	7.7 ± 1.9

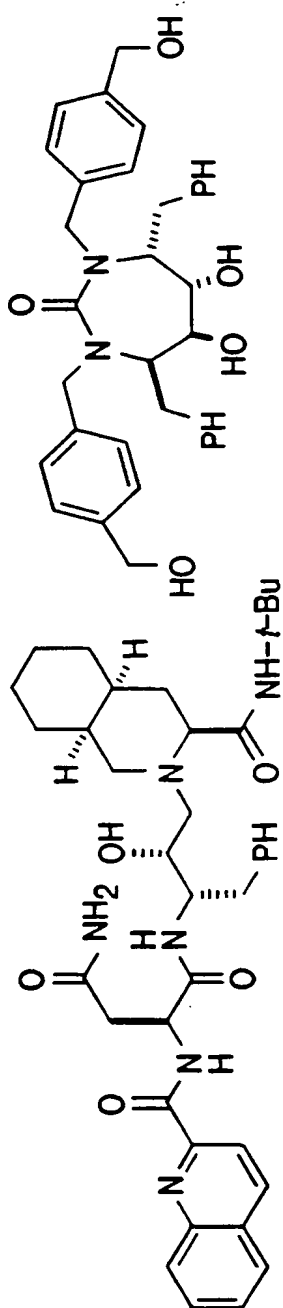
FIG. 11



(i) 2,2-dimethoxypropane, *p*-TsOH, 80%; (ii) Pd/C, H₂, MeOH, 99%; (iii) HBTU, Cbz-Val, Et₃N, CH₃CN, 89%; (iv) HBTU, Cbz-amino acids, Et₃N, CH₃CN; (v) *p*-TsOH, MeOH.

FIG. 12

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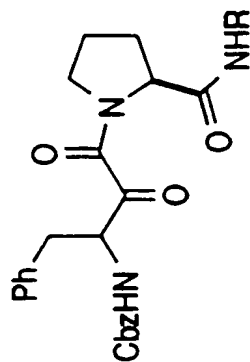


R03189

$K_i=0.7$ nM for HIV⁷
 $K_i=67$ μ M for FIV

DMP323

$K_i=0.3$ nM for HIV⁸
 $IC_{50}=7.3$ mM for FIV



• R=t-Bu

$K_i=0.2$ μ M for HIV¹⁰
 $IC_{50}>7$ mM for FIV¹⁰

HOE/BAY793

$IC_{50}=0.3$ nM for HIV⁹
 $IC_{50}=20$ μ M for FIV

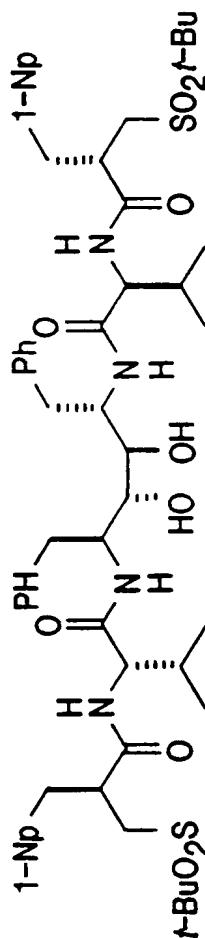


FIG. 13

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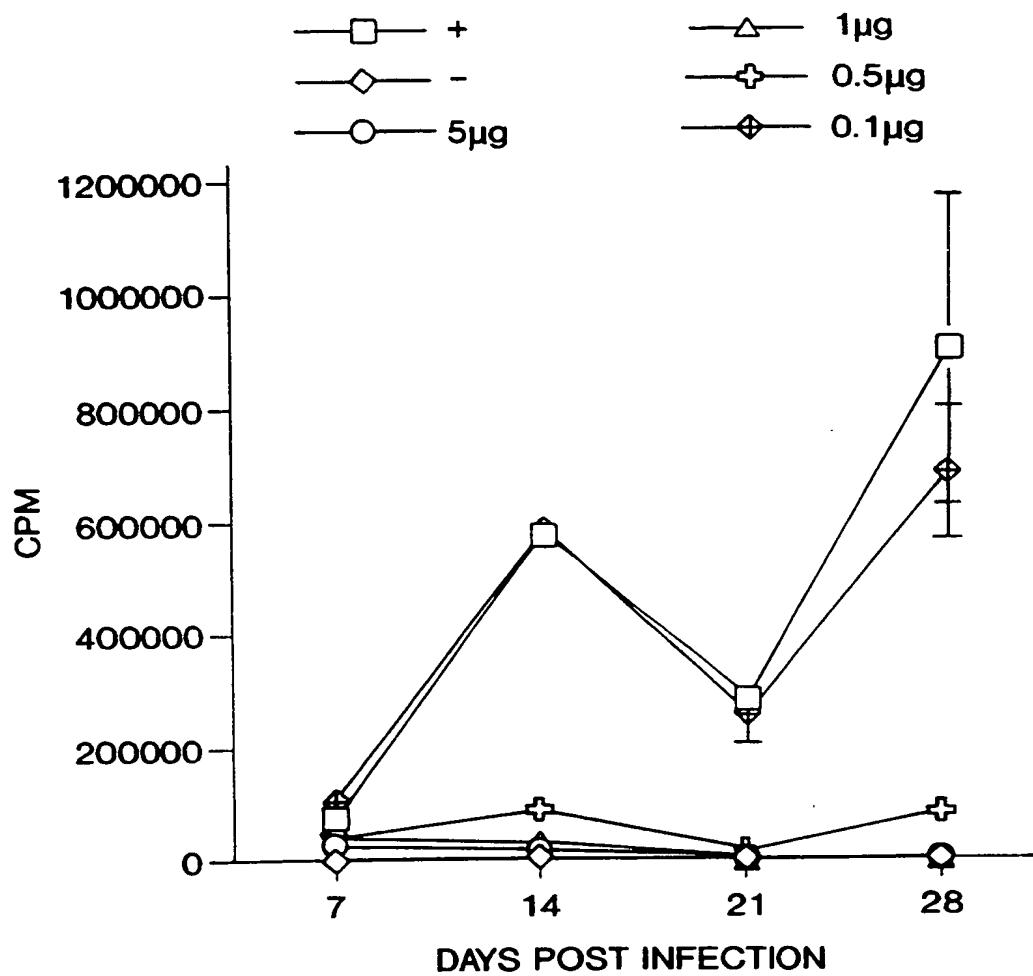


FIG. 14

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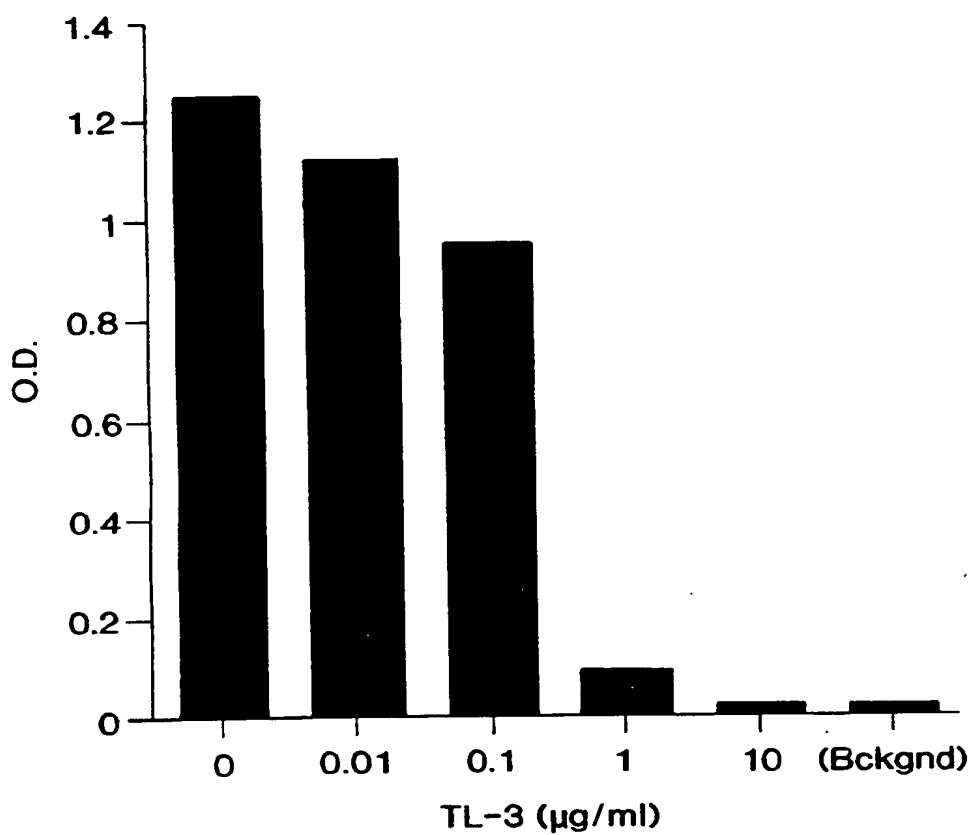


FIG. 15

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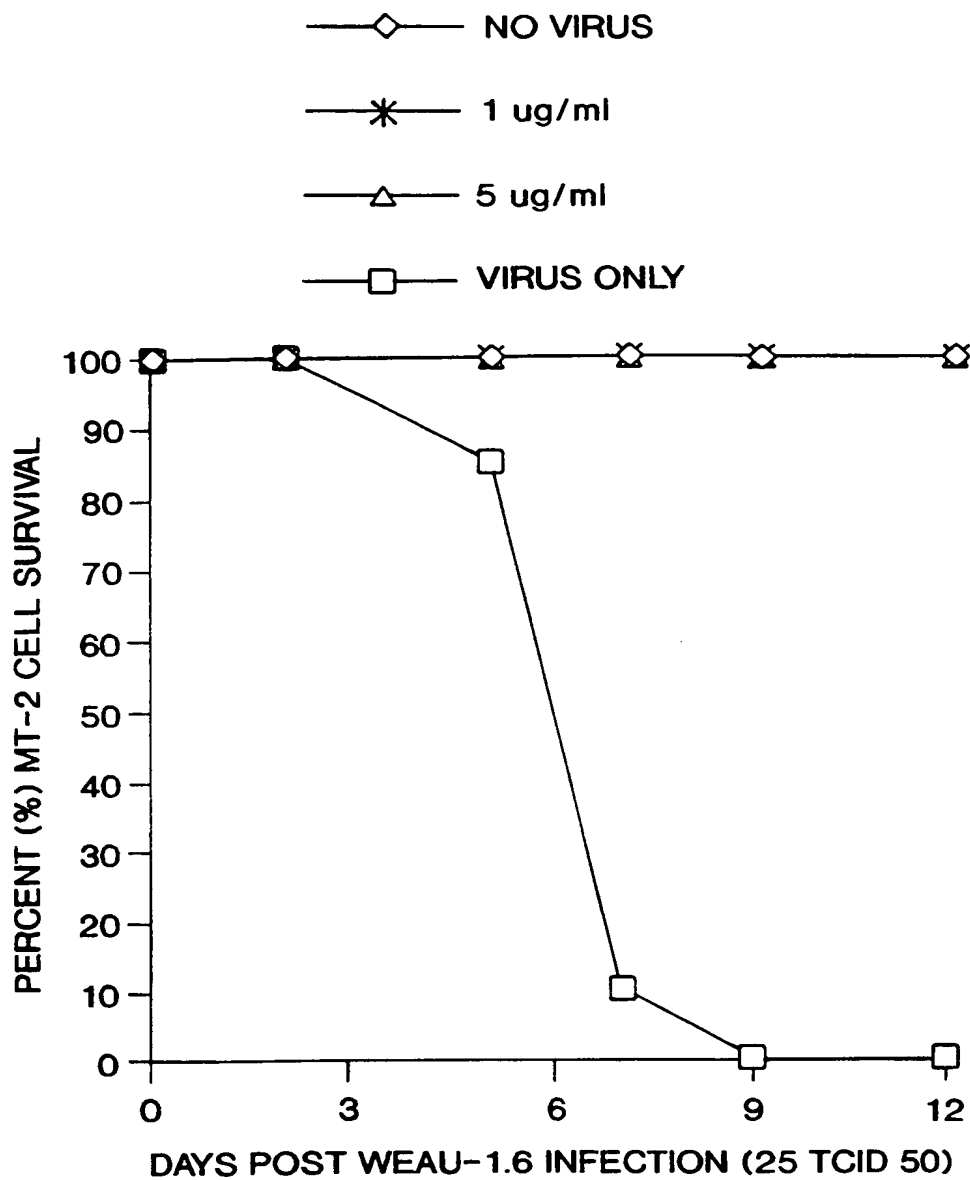


FIG. 16